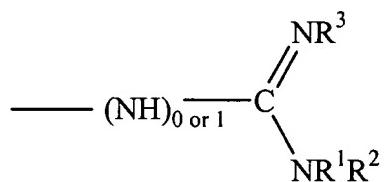


wherein

(YN) is an organic residue obtained by the removal of a predetermined organic group, Q, from an opioid of the formula YN-Q, said opioid selected from the group consisting of morphine, codeine, heroin, ethylmorphine, O-carboxymethylmorphine, O-acetylmorphine, hydrocodone, hydromorphone, oxymorphone, oxycodone, dihydrocodeine, thebaine, metopon, ethorphine, acetorphine, diprenorphine (M5050), buprenorphine, phenomorphan, levorphanol, pentazocine, eptazocine, metazocine, ethoheptazine, ketobemidone, dihydroetorphine and dihydroacetorphine;

(spacer) is a group linking YN to an amidine or guanidine group, wherein YN and said amidine or guanidine group are separated by 1 to 6 atoms; and

(amidine or guanidine group) is a group of the formula



in which

$\text{R}^1$  is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

$\text{R}^2$  is H or an alkyl group having 1 to 6 carbon atoms;

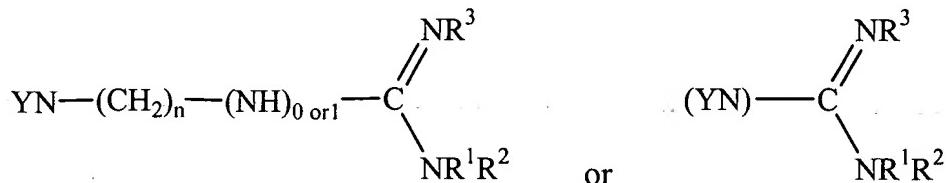
R<sup>3</sup> is H, alkyl, hydroxy, amino, cyano or acyl, wherein alkyl and acyl have 1 to 6 carbon atoms; or

R<sup>1</sup> and R<sup>3</sup> together form an alkylene or alkenylene of from 2 to 4 carbon atoms to complete a ring including two nitrogen atoms,

or a pharmaceutically acceptable salt thereof,

wherein said compound acts as an analgesic that has reduced sedative or addictive effect in comparison to any opioid of formula YN-Q comprising an organic residue YN identical to the organic residue YN of said compound.

7. (Three Times Amended) A compound according to Claim 1, of formula:



wherein

(YN) is an organic residue obtained by the removal of a predetermined organic group, Q, from an opioid of the formula YN-Q, said opioid selected from the group consisting of morphine, codeine, heroin, ethylmorphine, O-carboxymethylmorphine, O-acetylmorphine, hydrocodone, hydromorphone, oxymorphone, oxycodone, dihydrocodeine, thebaine, metopon, ethorphine, acetorphine, diprenorphine (M5050), buprenorphine, phenomorphan, levorphanol, pentazocine, eptazocine, metazocine, ethoheptazine, ketobemidone, dihydroetorphine and dihydroacetorphine;

in which

R<sup>1</sup> is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R<sup>2</sup> is H or an alkyl group having 1 to 6 carbon atoms;

R<sup>3</sup> is H, alkyl, hydroxy, amino, cyano or acyl, wherein alkyl and acyl have 1 to 6 carbon atoms; or

R<sup>1</sup> and R<sup>3</sup> together form an alkylene or alkenylene of from 2 to 4 carbon atoms to complete a ring including two nitrogen atoms; and

n is an integer of 1 to 6;

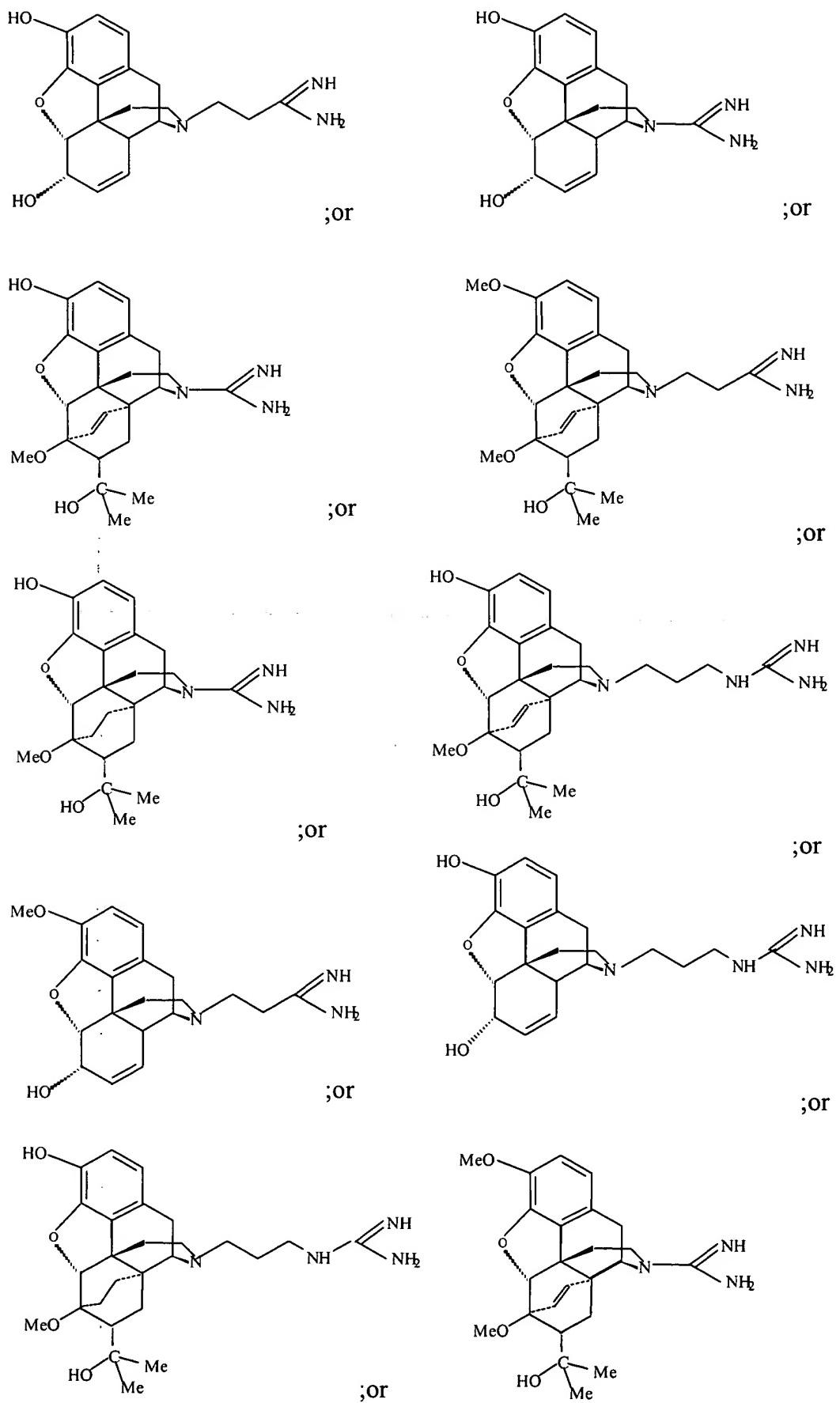
or a pharmaceutically acceptable salt thereof.

8. (Amended) A compound according to Claim 7, in which R<sup>1</sup> and R<sup>3</sup> together form an alkylene or alkenylene of from 2 to 4 carbon atoms to complete a ring including two nitrogen atoms.

12. (Three Times Amended) A compound according to Claim 7, in which R<sup>1</sup> and R<sup>2</sup> are both H.

14. (Three Times Amended) A compound according to Claim 7, in which the opioid is morphine, codeine or buprenorphine.

16. (Twice Amended) A compound according to Claim 1, said compound selected from the group consisting of



19. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound having the formula



or

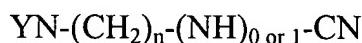


with a cyanamide of formula R<sup>1</sup>NHCN,

wherein

R<sup>1</sup> is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms; and n is an integer of 1 to 6.

20. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the steps of reacting a compound of formula



or



with H<sub>2</sub>S to obtain an N-thiocarboxamide, and then either (i) reacting the N-thiocarboxamide with an amine R<sup>1</sup>R<sup>2</sup>NH, or

(ii) Methylating the N-thiocarboxamide to yield an isothiourea compound, which is in turn reacted with an amine R<sup>1</sup>R<sup>2</sup>NH,  
wherein

R<sup>1</sup> is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

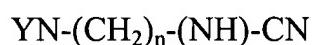
R<sup>2</sup> is H or an alkyl group having 1 to 6 carbon atoms;

R<sup>3</sup> is H; and

*C6*  
*Compound*

n is an integer of 1 to 6.

21. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound of formula



or



with methanol under acidic conditions to yield an isourea, which in turn is reacted with an amine of the formula  $\text{R}^1\text{R}^2\text{NH}$ ,  
wherein

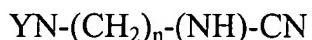
$\text{R}^1$  is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

$\text{R}^2$  is H or an alkyl group having 1 to 6 carbon atoms;

$\text{R}^3$  is H; and

n is an integer of 1 to 6.

22. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound of formula



or



with a metallated residue containing -  $\text{NR}^1\text{R}^2$ ,  
wherein

*C6*  
*CH<sub>2</sub>*  
*ONH*

R<sup>1</sup> is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R<sup>2</sup> is H or an alkyl group having 1 to 6 carbon atoms;

R<sup>3</sup> is H; and

n is an integer of 1 to 6.

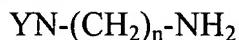
---

*C7*

33. (Amended) A method of inducing analgesia in a mammal, said method comprising administration of a pharmaceutical composition of claim 23 in amounts effective to induce said analgesia to a mammal in need thereof.

*C8*

34. (NEW) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound having the formula



or



with a compound of formula (V)



wherein

R<sup>1</sup> is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R<sup>2</sup> is H or an alkyl group having 1 to 6 carbon atoms;

R<sup>3</sup> is H, alkyl, hydroxy, amino, cyano or acyl, wherein alkyl and acyl have 1 to 6 carbon atoms;

n is an integer of 1 to 6,